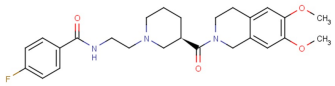
Data Sheet (Cat.No.T13374)

YM758

Chemical Properties



CAS No.:	312752-85-5
Formula:	C26H32FN3O4
Molecular Weight:	469.55
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	YM758 is an inhibitor of If current channel (If channel).
Targets(IC_{50})	If channel: None
In vitro	YM758 inhibits rOct1- and hOCT1-mediated [3H]MPP uptake in a concentration-dependent manner(IC50 values of 23.8 and 40.5 μM, respectively). The IC50 value of YM758 for [14C]Metformin uptake via rOct1 may be estimated below 10 μM in the same way, whereas that is much smaller than that for [3H]MPP uptake. In addition, the inhibitory effect of YM758 on [3H]E217βG uptake via OATP1B1 and OATP1B3 is investigated. YM758 inhibits OATP1B1-mediated [3H]E217βG uptake in a concentration-dependent manner(IC50 : 13.0 μM). YM758 has no inhibitory effect on OATP1B3-mediated [3H]E217βG uptake[1].
In vivo	The PK profile of YM758 in tachycardia-induced dogs appeares to be linear within the dose range of 0.03 to 0.3 mg/kg. The CLtot of YM758 in the blood basis (CLb,dog) is estimated to be 1.47 to 1.69 L/h/kg[2]. The radioactivity in the rat eyeballs after dosing 14C-YM758 is extracted with a mixture of 2 mol/L hydrochloric acid and Methanol (5:95, v/v); the radioactivity recovery is 97.1% at 4 h and 67.1% at 24 h. The HPLC recovery of radioactivity from the extracted samples is 90.6 and 100.6% at 4 and 24 h, respectively. In the eyeball at 4 h after administration, YM758 (the unchanged drug) is the main compound detected (66.7%), and the metabolites YM-252124 (14.5%), YM-394111 (2.4%), and YM-234903 (1.8%) are also observed[3].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.13 mL	10.648 mL	21.297 mL
5 mM	0.426 mL	2.13 mL	4.259 mL
10 mM	0.213 mL	1.065 mL	2.13 mL
50 mM	0.043 mL	0.213 mL	0.426 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Umehara K, et al. Hepatic uptake and excretion of (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide (YM758), a novel i

2. Umehara K, et al. Relationship between exposure of (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide (YM758), a "funny" i

3. Umehara K, et al. Investigation of long-term retention of unchanged (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide, a novel "funny" I

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